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                  for Taiwanese application numbers in CA/CAplus.
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     4 OCT 21
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         DEC 18
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         DEC 21
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=> s 11

SAMPLE SEARCH INITIATED 11:57:28 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 484 TO ITERATE

100.0% PROCESSED 484 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 8361 TO 10999
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 11:57:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9285 TO ITERATE

100.0% PROCESSED 9285 ITERATIONS 25 ANSWERS

SEARCH TIME: 00.00.01

L3 25 SEA SSS FUL L1

=> file caplus

 COST IN U.S. DOLLARS
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 ENTRY
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 FULL ESTIMATED COST
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FILE COVERS 1907 - 18 Jan 2011 VOL 154 ISS 4 FILE LAST UPDATED: 17 Jan 2011 (20110117/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2010 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2010

CAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 6 L3

=> d bib abs hitstr 1-6

- L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
- AN 2009:208119 CAPLUS
- DN 150:448241
- TI Inhibitors of adenosine consuming parasites through polymer-assisted solution phase synthesis of lipophilic 5'-amido-5'-deoxyadenosine derivatives
- AU Heidler, Philipp; Zohrabi-Kalantari, Vida; Kaiser, Marcel; Brun, Reto; Emmrich, Thomas; Link, Andreas
- CS Institute of Pharmaceutical Chemistry, Philipps-University Marburg,
- Marburg, 35032, Germany

 SO Bioorganic & Medicinal Chemistry (2009), 17(4), 1428-1436

 CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier B.V.
- DT Journal
- LA English
- OS CASREACT 150:448241

GΙ

Given the more or less global spread of multidrug-resistant plasmodia, structurally diverse starting points for the development of chemotherapeutic agents for the treatment of malaria are urgently needed. Thus, a series of 20 adenosine derivs. with a large lipophilic substituent in N6-position, e.g. I, were prepared in order to evaluate their potential to inhibit the chloroquine resistant Plasmodium falciparum strain $\mathrm{K}1$ in vitro. The rationale for synthesis of these structures was the high probability of interactions with multiple adenosine associated targets and the assumption that a large hydrophobic N6-(4-phenoxy) benzyl substitution should allow the mols. to diffuse across parasite membranes. Starting from readily available inosine, the new compds. were prepared as single isomers using a polymer-assisted acylation protocol enabling the straightforward isolation of the target compds. in pure form. Heterocyclic ring systems were synthesized on-bead on Kenner's safety-catch linker prior to acylation of the scaffold in solution Most of the highly pure compds. displayed anti-plasmodial activity in the low micromolar or even submicromolar concentration range.

Τ

IT 722505-26-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(polymer-assisted solution phase synthesis of lipophilic amido deoxyadenosine derivs. via nucleophilic substitution and acylation from carboxylic acids, amines and phenoxybenzyl adenosine, as inhibitors of adenosine consuming parasites)

RN 722505-26-2 CAPLUS
CN Adenosine, N-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN
- AN 2005:74688 CAPLUS
- DN 142:336573
- TI Synthesis of 9-(2- β -C-methyl- β -D-ribofuranosyl)-6-substituted purine derivatives as inhibitors of HCV RNA replication
- AU Ding, Yili; Girardet, Jean-Luc; Hong, Zhi; Lai, Vicky C. H.; An, Haoyun; Koh, Yung-hyo; Shaw, Stephanie Z.; Zhong, Weidong
- CS Valeant Pharmaceuticals International, Costa Mesa, CA, 92626, USA
- SO Bioorganic & Medicinal Chemistry Letters (2005), 15(3), 709-713 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier B.V.
- DT Journal
- LA English
- OS CASREACT 142:336573
- As eries of $9-(2'-\beta-C-\text{methyl}-\beta-D-\text{ribofuranosyl})-6-\text{substituted}$ purine derivs. were synthesized as potential inhibitors of HCV RNA replication. Their inhibitory activities in a cell based HCV replicon assay were reported. A prodrug approach was used to further improve the potency of these compds. by increasing the intracellular levels of 5'-monophosphate metabolites. These nucleotide prodrugs showed much improved inhibitory activities of HCV RNA replication.
- IT 565435-06-5P
 - RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 - (synthesis of $9-(2-\beta-C-methyl-\beta-D-ribofuranosyl)-6-$
 - substituted purine derivs. as inhibitors of HCV RNA replication)
- RN 565435-06-5 CAPLUS
- CN Adenosine, N-[(2-ethoxyphenyl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS) THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD OSC.G 23 OSC.G 23 RE.CNT 13 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN 1.4

2004:566634 CAPLUS AN

141:123865

Substitution derivatives of N6-benzyl-adenosine, methods of their TΙ preparation, their use for preparation of drugs, cosmetic preparations and growth regulators, pharmaceutical preparations, cosmetic preparations and

growth regulators containing these compounds
Dolezal, Karel; Popa, Igor; Zatloukal, Marek; Lenobel, Rene; Hradecka,
Dana; Vojtesek, Borivoj; Uldrijan, Stjepan; Mlejnek, Petr; Werbrouck, IN Stefaan; Strnad, Miroslav

PAUstav Experimentalni Botaniky Akademie Ved Ceske Republiky, Czech Rep.; et al.

SO PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DT Patent

English LA

FAN.CNT 1 PATENT NO.					KIND DATE				APPLICATION NO.						DATE				
PI	WO :	WO 2004058791			A2 20040715			1	WO 2003-CZ78					20031229					
	WO :	2004	0587	91		А3		2004	1028										
		W:	ΑE,	ΑG,	ΑL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
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AU 2003294608																			
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ZA 2005006074 A 20060531 ZA 2005-6074 200507.																			
US 20060166925 A1 20060727 US 2005-540993 20050815																			
PRAI CZ 2002-4273 A 20021230																			
WO 2003-CZ78 W 20031229																			
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT																			
OS MARPAT 141:123865																			

The invention concerns novel substitution derivs. of N6-benzyl-adenosine AB I, wherein n is 2-6; R1 is H, OH, halogen, alkoxy, amino, hydrazo, mercapto, methylmercapto, carboxyl, cyano, nitro, amido, sulfo, sulfamido, acylamino, acyloxy, alkylamino, dialkylamino, alkylmercapto, carbylalkoxy, cycloalkyl, carbamoyl alkyl; R2 is H, OH, halogen, alkoxy, amino, hydrazo, mercapto, methylmercapto, carboxyl, cyano, nitro, amido, sulfo, sulfamido, acylamino, acyloxy, alkylamino, dialkylamino, alkylmercapto, cabylalkoxy, cycloalkyl, carbamoyl, having anticancer, mitotic, immunosuppressive and anti-senescent properties for plant, animal and human cells. This invention also relates to the methods of preparation of these N6-benzyl-adenosine derivs. and their use as drugs, cosmetic prepns. and growth regulators comprising these derivs. as active compound and use of these derivs. for preparation of pharmaceutical compns., in biotechnol. processes, in cosmetics and in agriculture. Use of title compds. as mitotic or antimitotic compound, especially for treating cancer, psoriasis, rheumatoid arthritis, lupus, type I diabetes, multiple sclerosis, restenosis, polycystic kidney disease, graft rejection, graft vs. host disease and gout, parasitoses such as those caused by fungi or protists, or Alzheimer's disease, or as anti-neurogenerative drugs, or to suppress immunostimulation or for the treatment of proliferative skin diseases. Thus, 2-amino-6-(2-methoxybenzylamino)purine riboside was prepared as growth

regulator, and antitumor agent. 420116-42-3P 722505-15-9P 7 722505-16-0P 722505-17-1P 722505-18-2P 722505-19-3P 722505-20-6P 722505-21-7P 722505-22-8P 722505-24-0P 722505-23-9P 722505-25-1P 722505-26-2P 722505-27-3P 722505-28-4P 722505-29-5P 722505-30-8P 722506-87-8P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); COS (Cosmetic use); IMF (Industrial manufacture); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N6-benzyladenosine nucleosides as antitumor, mitotic, immunosuppressive prodrugs, cosmetic agents, and growth regulators) 420116-42-3 CAPLUS

CN Adenosine, N-([1,1'-biphenyl]-4-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

RN 722505-15-9 CAPLUS

CN Adenosine, N-[(4-hexylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722505-16-0 CAPLUS

CN Adenosine, N-[[4-(hexyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 722505-17-1 CAPLUS

CN Adenosine, N-[(2-formylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722505-18-2 CAPLUS

CN Adenosine, N-[(3-formylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722505-19-3 CAPLUS

CN Adenosine, N-[(4-formylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

722505-20-6 CAPLUS Adenosine, N-[(2-ethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN722505-21-7 CAPLUS

Adenosine, N-[(3-ethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

722505-22-8 CAPLUS RN

CN Adenosine, N-[(4-ethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722505-23-9 CAPLUS

CN Adenosine, N-[(4-ethylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722505-24-0 CAPLUS

CN Adenosine, N-[(4-pentylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN

722505-25-1 CAPLUS Adenosine, N-[[4-(pentyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN

722505-26-2 CAPLUS Adenosine, N-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME) CN

722505-27-3 CAPLUS RN

CNAdenosine, N-[(4-propylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

722505-28-4 CAPLUS Adenosine, N-[(4-propoxyphenyl)methyl]- (9CI) (CA INDEX NAME) CN

RN 722505-29-5 CAPLUS CN Adenosine, N-[(4-octylphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722505-30-8 CAPLUS

CN Adenosine, N-[[4-(octyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 722506-87-8 CAPLUS

CN Adenosine, N-[(4-butoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

OSC.G THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS) THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 3

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ANSWER 4 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN 2003:591196 CAPLUS
L4
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AN

139:133790 DN

 ${\mathbb T}\,{\mathbb I}$ Preparation of $2'-\beta$ -modified-6-substituted adenosine analogs and their use as antiviral agents

An, Haoyun; Ding, Yili; Shaw, Stephanie; Hong, Zhi Ribapharm Inc., USA PCT Int. Appl., 45 pp. IN

 $\mathbb{P} \mathbb{A}$

SO CODEN: PIXXD2

DT Patent

English LA

FAN.CNT 4																		
	PATE	NT NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
ΡI	WO 2003062256				A1	_	20030731		WO 2002-US34026						20021023			
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			, CR,															
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		PI	, PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TΤ,	ΤZ,	
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		KG	, KZ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FΙ	, FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	NL,	PT,	SE,	SK,	TR,	BF,	ΒJ,	CF,	
		CG	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
	US 20060183706			A1	A1 20060817				US 2005-530627					2	0050	407		
	US 7:	217815			В2		2007	0515										
PRAI	US 2	002-35	0296P		P		2002	0117										
	WO 2	002-US	34026		W		2002	1023										

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT OS MARPAT 139:133790

GΙ

Various 2'-beta-methyl-6-substituted adenosine analogs I in which Z is selected from the group consisting of an alkyl, an O-alkyl, an alkenyl, an alkynyl, and CN, wherein the alkyl, the alkenyl, or the alkynyl is optionally substituted with a halogen or OH; A is CH or N, and E is C-R6or N, such that (1) when A is CH then E is C-R6 or N, and (2) when A is N then E is CH; X is NR1R2, NR2NR3R4, NR2N=NR3, NR2N=CHR3, NR2N=O, NR2C(=0)NR3R4, NR2C(=S)NR3R4, NR2C(=NH)NR3R4, NR1C(=O)NR2NR3R4, NR2OR3, ONHC(0)0-alkyl, ONHC(0)0-aryl, ONR3R4, SNR1R2, SONR1R2, or S(0)2NR1R2; wherein R1-R4 are independently H, alkyl, substituted alkyl, O-alkyl, cyclic alkyl, heterocyclic alkyl, alkoxy, alkaryl, aryl, heterocyclic aryl, substituted aryl, acyl, substituted acyl, S(O)2-alkyl, NO, NH2, or OH; and R6 is H, NH2, halogen, N3, NHR1, NHCOR1 NR1R2, NHSO2R1, NHCONHR1, NHCSNHR1, CH2NHR1, CHR1NHR2, NHNH2, CN, alkyl, alkenyl, alkynyl, CH2-aryl, CH2-heterocycle, halogen, OH, or SH; are prepared by conventional and combinatorial library approaches. Contemplated compds. are particularly useful as therapeutic agents, and especially as antiviral agents. Thus, $N6-[3-(methylthio)phenyl]-9H-(2'-\beta-C-methyl-\beta-D$ ribofuranosyl)adenine was prepared and tested in vitro as antiviral agent against influenza virus A, bovine viral diarrhea virus, Hepatitis B virus, HIV-1 virus and human Rhinovirus.

565435-06-5P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(preparation of $2\,{}^{\prime}-\beta-\text{modified-}6-\text{substituted}$ adenosine analogs and their use as antiviral agents)

565435-06-5 CAPLUS RN

CN Adenosine, N-[(2-ethoxyphenyl)methyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

- THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS) OSC.G RE.CNT THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 5 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN T.4
- 2002:89069 CAPLUS AN
- DN 136:355407
- Anti-Malarial activity of N6-Substituted adenosine derivatives. Part I TΙ
- ΑU Golisade, Abolfasl; Wiesner, Jochen; Herforth, Claudia; Jomaa, Hassan; Link, Andreas
- Institut fur Pharmazie, Universitat Hamburg, Hamburg, D-20146, Germany Bioorganic & Medicinal Chemistry (2002), 10(3), 769-777 CS SO
- CODEN: BMECEP; ISSN: 0968-0896
- PB Elsevier Science Ltd.
- Journal DT
- LΑ English
- CASREACT 136:355407 OS
- AB The synthesis and biol. evaluation of novel N6-substituted adenosine derivs. is reported. The first series of compds. was obtained using an established procedure for the nucleophilic substitution of a $1-(6-\text{chloro-purin}-9-\text{yl})-\beta-D-1-\text{deoxy-ribofuranose}$ with various amines. In addition, attachment of two different amino-functionalized spacer arms at

the N6-position of adenosine enabled derivatization by an innovative polymer-assisted protocol. Thus, we were able to prepare three series of substituted derivs. that displayed activity vs. the multiresistant Plasmodium falciparum strain Dd2 in cell culture expts.

420116-42-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(preparation of and antimalarial structure activity relationship of N6-Substituted adenosine derivs.)

420116-42-3 CAPLUS

Adenosine, N-([1,1'-biphenyl]-4-ylmethyl)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS) OSC.G 22 RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 6 CAPLUS COPYRIGHT 2011 ACS on STN

1996:337912 CAPLUS AN

DN 125:11378

OREF 125:2497a,2500a

Preparation of adenosine derivatives for treating cardiovascular, respiratory, central nervous system, and immune diseases

Mitsuya, Morihiro; Takeshita, Hiroshi; Ihara, Masaki

Banyu Pharmaceutical Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 12 pp. PΑ

SO

CODEN: JKXXAF

DT Patent

Japanese LΑ

FAN.CNT 1						
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI JP 08053491	A	19960227	JP 1995-98038	19950330		
PRAI JP 1995-98038	A	19950330				
JP 1994-87958	A	19940401				
JP 1994-147104		19940606				
OS MARPAT 125:11378						
CT						

The title compds. (I; Ar = Ph, heterocyclyl; Q = lower alkylene; R1 = HOCH2, H2NCO, lower alkylcarbamoyl; R2 = H, HO, NH2, lower alkoxy), which AΒ are particularly useful as antihypertensives without side effects such as changing number of heart beats (no data), are prepared Thus, 90 mg 6-amino-3-biphenylylmethylamine dihydrochloride was dissolved in 10 mL EtOH, treated with 0.30 mL Et3N and 82 mg 6-chloro-9- β -D-ribofuranosyl-9H-purine, and refluxed for 8.5 h to give 67% N6-(6-amino-3-biphenylylmethyl)adenosine.

177270-12-1P 177270-16-5P 177270-17-6P 177270-19-8P

Ι

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of adenosine derivs. for treating cardiovascular, respiratory,

central nervous system, and immune diseases)

RN 177270-12-1 CAPLUS

Adenosine, N-[(4-amino[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 177270-16-5 CAPLUS

Adenosine, N-[(4-amino-4'-fluoro[1,1'-biphenyl]-3-yl)methyl]- (9CI) (CA INDEX NAME)

RN

177270-17-6 CAPLUS Adenosine, N-[[2-amino-5-(1,3-benzodioxol-5-yl)phenyl]methyl]- (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

RN 177270-19-8 CAPLUS

Adenosine, N-([1,1'-biphenyl]-3-ylmethyl)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

OSC.G THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)